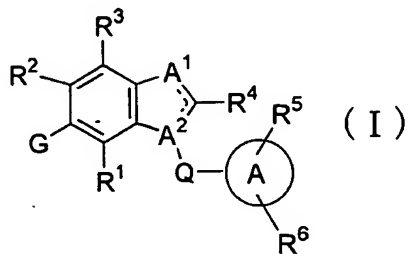


## CLAIMS

1. A fused heterocyclic derivative represented by the following general formula (I):



5

wherein

- $R^1$  to  $R^4$  independently represent a hydrogen atom, a hydroxy group, an amino group, a halogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group, a cyano group, a carboxy group, a C<sub>2-7</sub> alkoxy carbonyl group, a carbamoyl group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a halo(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a cyano(C<sub>1-6</sub> alkyl) group, a carboxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxy carbonyl(C<sub>1-6</sub> alkyl) group, a carbamoyl(C<sub>1-6</sub> alkyl) group, an amino(C<sub>1-6</sub> alkyl) group, a mono or di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, a carboxy(C<sub>1-6</sub> alkoxy) group, a C<sub>2-7</sub> alkoxy carbonyl(C<sub>1-6</sub> alkoxy) group, a carbamoyl(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkoxy) group, a C<sub>3-7</sub> cycloalkyl group, a C<sub>3-7</sub> cycloalkyloxy group, a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkyl) group, or C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkoxy) group;

$R^5$  and  $R^6$  independently represent a hydrogen atom, a hydroxy

group, a halogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> alkenyl group, a C<sub>2-6</sub> alkynyl group, a C<sub>1-6</sub> alkoxy group, a C<sub>2-6</sub> alkenyloxy group, a C<sub>1-6</sub> alkylthio group, a C<sub>2-6</sub> alkenylthio group, a halo(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a halo(C<sub>1-6</sub> alkylthio) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>2-6</sub> alkenyl) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkylthio) group, a carboxy group, a carboxy(C<sub>1-6</sub> alkyl) group, a carboxy(C<sub>2-6</sub> alkenyl) group, a carboxy(C<sub>1-6</sub> alkoxy) group, a carboxy(C<sub>1-6</sub> alkylthio) group, a C<sub>2-7</sub> alkoxycarbonyl group, a C<sub>2-7</sub> alkoxycarbonyl(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxycarbonyl(C<sub>2-6</sub> alkenyl) group, a C<sub>2-7</sub> alkoxycarbonyl(C<sub>1-6</sub> alkoxy) group, a C<sub>2-7</sub> alkoxycarbonyl(C<sub>1-6</sub> alkylthio) group, a C<sub>1-6</sub> alkylsulfinyl group, a C<sub>1-6</sub> alkylsulfonyl group, -U-V-W-N(R<sup>7</sup>)-Z or any of the following substituents (i) to (xxviii) which may have any 1 to 3 groups selected from the following substituent group  $\alpha$  on the ring;

(i) a C<sub>6-10</sub> aryl group, (ii) C<sub>6-10</sub> aryl-O-, (iii) C<sub>6-10</sub> aryl-S-, (iv) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkyl) group, (v) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkoxy) group, (vi) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkylthio) group, (vii) a heteroaryl group, (viii) heteroaryl-O-, (ix) heteroaryl-S-, (x) a heteroaryl(C<sub>1-6</sub> alkyl) group, (xi) a heteroaryl(C<sub>1-6</sub> alkoxy) group, (xii) a heteroaryl(C<sub>1-6</sub> alkylthio) group, (xiii) a C<sub>3-7</sub> cycloalkyl group, (xiv) C<sub>3-7</sub> cycloalkyl-O-, (xv) C<sub>3-7</sub> cycloalkyl-S-, (xvi) a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkyl) group, (xvii) a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkoxy) group, (xviii) a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkylthio) group, (xix) a heterocycloalkyl group, (xx) heterocycloalkyl-O-, (xxi) heterocycloalkyl-S-, (xxii) a heterocycloalkyl(C<sub>1-6</sub> alkyl) group, (xxiii) a

heterocycloalkyl(C<sub>1-6</sub> alkoxy) group, (xxiv) a  
 heterocycloalkyl(C<sub>1-6</sub> alkylthio) group, (xxv) an aromatic  
 cyclic amino group, (xxvi) an aromatic cyclic amino(C<sub>1-6</sub> alkyl)  
 group, (xxvii) an aromatic cyclic amino(C<sub>1-6</sub> alkoxy) group, or  
 5 (xxviii) an aromatic cyclic amino(C<sub>1-6</sub> alkylthio) group,

U represents -O-, -S- or a single bond and with the proviso  
 that at least one of V and W is not a single bond when U is -O-  
 or -S-);

V represents a C<sub>1-6</sub> alkylene group which may have a hydroxy  
 10 group, a C<sub>2-6</sub> alkenylene group or a single bond;

W represents -CO-, -SO<sub>2</sub>-, -C(=NH)- or a single bond;

Z represents a hydrogen atom, a C<sub>2-7</sub> alkoxy carbonyl group,  
 a C<sub>6-10</sub> aryl(C<sub>2-7</sub> alkoxy carbonyl) group, a formyl group, -R<sup>A</sup>,  
 -COR<sup>B</sup>, -SO<sub>2</sub>R<sup>B</sup>, -CON(R<sup>C</sup>)R<sup>D</sup>, -CSN(R<sup>C</sup>)R<sup>D</sup>, -SO<sub>2</sub>NHR<sup>A</sup> or  
 15 -C(=NR<sup>E</sup>)N(R<sup>F</sup>)R<sup>G</sup>;

R<sup>7</sup>, R<sup>A</sup>, R<sup>C</sup> and R<sup>D</sup> independently represent a hydrogen atom,  
 a C<sub>1-6</sub> alkyl group which may have any 1 to 5 groups selected  
 from the following substituent group β, or any of the following  
 substituents (xxix) to (xxxii) which may have any 1 to 3 groups  
 20 selected from the following substituent group α;

(xxix) a C<sub>6-10</sub> aryl group, (xxx) a heteroaryl group, (xxxi)  
 a C<sub>3-7</sub> cycloalkyl group or (xxxii) a heterocycloalkyl group  
 or Z and R<sup>7</sup> bind together with the neighboring nitrogen  
 atom to form an aliphatic cyclic amino group which may have any  
 25 1 to 3 groups selected from the following substituent group α;  
 or R<sup>C</sup> and R<sup>D</sup> bind together with the neighboring nitrogen  
 atom to form an aliphatic cyclic amino group which may have any

1 to 3 groups selected from the following substituent group  $\alpha$ ;

$R^B$  represents a C<sub>2</sub>-7 alkoxy carbonyl group, a C<sub>1</sub>-6 alkylsulfonylamino group, a C<sub>6</sub>-10 arylsulfonylamino group, a C<sub>1</sub>-6 alkyl group which may have any 1 to 5 groups selected from the following substituent group  $\beta$  or any of the following substituents (xxxiii) to (xxxvi) which may have any 1 to 3 groups selected from the following substituent group  $\alpha$ ;

(xxxiii) a C<sub>6</sub>-10 aryl group, (xxxiv) a heteroaryl group, (xxxv) a C<sub>3</sub>-7 cycloalkyl group or (xxxvi) a heterocycloalkyl group,

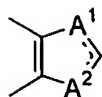
$R^E$ ,  $R^F$  and  $R^G$  independently represent a hydrogen atom, a cyano group, a carbamoyl group, a C<sub>2</sub>-7 acyl group, a C<sub>2</sub>-7 alkoxy carbonyl group, a C<sub>6</sub>-10 aryl(C<sub>2</sub>-7 alkoxy carbonyl) group, a nitro group, a C<sub>1</sub>-6 alkylsulfonyl group, a sulfamide group, a carbamimidoyl group, or a C<sub>1</sub>-6 alkyl group which may have any 1 to 5 groups selected from the following substituent group  $\beta$ ;

or both of  $R^E$  and  $R^F$  bind together to form an ethylene group;

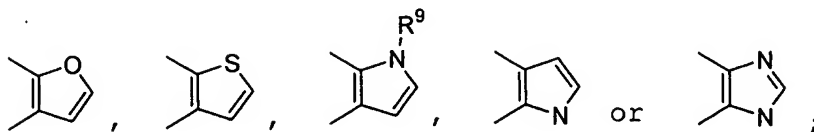
or both of  $R^F$  and  $R^G$  bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any substituent selected from the following substituent group  $\alpha$ ;

Q represents -C<sub>1</sub>-6 alkylene-, -C<sub>2</sub>-6 alkenylene-, -C<sub>2</sub>-6 alkynylene-, -C<sub>1</sub>-6 alkylene-O-, -C<sub>1</sub>-6 alkylene-S-, -O-C<sub>1</sub>-6 alkylene-, -S-C<sub>1</sub>-6 alkylene-, -C<sub>1</sub>-6 alkylene-O-C<sub>1</sub>-6 alkylene-, -C<sub>1</sub>-6 alkylene-S-C<sub>1</sub>-6 alkylene-, -CON(R<sup>8</sup>)-, -N(R<sup>8</sup>)CO-, -C<sub>1</sub>-6 alkylene-CON(R<sup>8</sup>)- or -CON(R<sup>8</sup>)-C<sub>1</sub>-6 alkylene-;

$R^8$  represents a hydrogen atom or a  $C_{1-6}$  alkyl group;  
 ring A represents a  $C_{6-10}$  aryl group or a heteroaryl group;  
 ring:

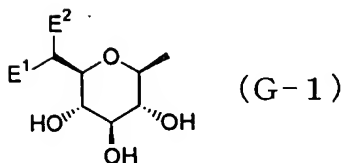


5 represents

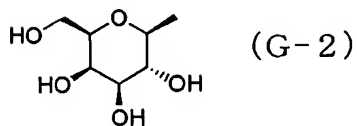


$R^9$  represents a hydrogen atom, a  $C_{1-6}$  alkyl group,  
 a hydroxy( $C_{1-6}$  alkyl) group, a  $C_{3-7}$  cycloalkyl group or  
 a  $C_{3-7}$  cycloalkyl( $C_{1-6}$  alkyl) group;

10 G represents a group represented by a formula:



or a formula:



$E^1$  represents a hydrogen atom, a fluorine atom or  
 15 a hydroxy group;

$E^2$  represents a hydrogen atom, a fluorine atom, a  
 methyl group or a hydroxymethyl group;

[substituent group  $\alpha$ ]

a halogen atom, a hydroxy group, an amino group, a  $C_{1-6}$  alkyl

group, a C<sub>1-6</sub> alkoxy group, a halo(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxycarbonyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkyl) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono  
 5 or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkylsulfonylamino(C<sub>1-6</sub> alkyl) group, a carboxy group, a C<sub>2-7</sub> alkoxycarbonyl group, a sulfamoyl group and  $-\text{CON}(\text{R}^{\text{H}})\text{R}^{\text{I}}$

10 [substituent group  $\beta$ ]

a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkoxy group, a C<sub>1-6</sub> alkylthio group, a halo(C<sub>1-6</sub> alkoxy) group, a halo(C<sub>1-6</sub> alkylthio) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkylthio) group, an amino(C<sub>1-6</sub> alkoxy) group, an  
 15 amino(C<sub>1-6</sub> alkylthio) group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]ureido group, a mono or di(C<sub>1-6</sub> alkyl)sulfamide group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]-  
 20 sulfamide group, a C<sub>2-7</sub> acylamino group, an amino(C<sub>2-7</sub> acylamino) group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a carbamoyl(C<sub>1-6</sub> alkylsulfonylamino) group, a carboxy group, a C<sub>2-7</sub> alkoxycarbonyl group,  $-\text{CON}(\text{R}^{\text{H}})\text{R}^{\text{I}}$ , and any of the following substituents (xxxvii) to (xxxviii) which may have  
 25 any 1 to 3 groups selected from the above substituent group  $\alpha$  on the ring;

(xxxvii) a C<sub>6-10</sub> aryl group, (xxxviii) C<sub>6-10</sub> aryl-O-,

(xxxix) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkoxy) group, (xxxx) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkylthio) group, (xxxxi) a heteroaryl group, (xxxxii) heteroaryl-O-, (xxxxiii) a C<sub>3-7</sub> cycloalkyl group, (xxxxiv) C<sub>3-7</sub> cycloalkyl-O-, (xxxxv) a heterocycloalkyl group, (xxxxvi) heterocycloalkyl-O-, (xxxxvii) an aliphatic cyclic amino group or (xxxxviii) an aromatic cyclic amino group

$R^H$  and  $R^I$  independently represent a hydrogen atom or a C<sub>1-6</sub> alkyl group which may have any 1 to 3 groups selected from the following substituent group  $\gamma$ ;

10 or both of  $R^H$  and  $R^I$  bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 groups selected from the following substituent group  $\delta$ ;

[substituent group  $\gamma$ ]

15 a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkoxy group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, 20 a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]ureido group, a mono or di(C<sub>1-6</sub> alkyl)sulfamide group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]-sulfamide group, a C<sub>2-7</sub> acylamino group, an amino(C<sub>2-7</sub> acylamino) group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a carbamoyl(C<sub>1-6</sub> alkylsulfonylamino) group, a carboxy 25 group, a C<sub>2-7</sub> alkoxycarbonyl group, a sulfamoyl group and  $-\text{CON}(R^J)R^K$

[substituent group  $\delta$ ]

a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group, a halo(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxycarbonyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkoxy) group,  
 5 an amino(C<sub>1-6</sub> alkyl) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkylsulfonylamino(C<sub>1-6</sub> alkyl) group, a carboxy group, a C<sub>2-7</sub> alkoxycarbonyl group, a sulfamoyl group and  $-\text{CON}(\text{R}^{\text{J}})\text{R}^{\text{K}}$

$\text{R}^{\text{J}}$  and  $\text{R}^{\text{K}}$  independently represent a hydrogen atom or a C<sub>1-6</sub> alkyl group which may have any 1 to 3 groups selected from a hydroxy group, an amino group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a C<sub>2-7</sub> alkoxycarbonyl group and a carbamoyl group;  
 15 or both of  $\text{R}^{\text{J}}$  and  $\text{R}^{\text{K}}$  bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 groups selected from a hydroxy group, an amino group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a C<sub>1-6</sub> alkyl group, a hydroxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxycarbonyl group, a C<sub>2-7</sub> alkoxycarbonyl(C<sub>1-6</sub> alkyl) group and a carbamoyl group,  
 20 or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

2. A fused heterocyclic derivative as claimed in claim 1,  
 25 wherein Q represents a methylene group, an ethylene group,  $-\text{OCH}_2-$ ,  $-\text{CH}_2\text{O}-$ ,  $-\text{SCH}_2-$  or  $-\text{CH}_2\text{S}-$ , or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

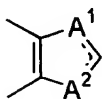


3. A fused heterocyclic derivative as claimed in claim 2, wherein Q represents an ethylene group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

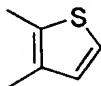
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4. A fused heterocyclic derivative as claimed in claim 2, wherein Q represents a methylene group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

10 5. A fused heterocyclic derivative as claimed in any one of claims 1 to 4, wherein the ring:

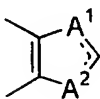


represents



15 , or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

6. A fused heterocyclic derivative as claimed in any one of claims 1 to 4, wherein the ring:



20

represents



, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

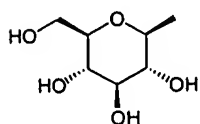
- 5 7. A fused heterocyclic derivative as claimed in claim 1, wherein  $R^5$  and  $R^6$  independently represent a hydrogen atom, a hydroxy group, a halogen atom, a  $C_{1-6}$  alkyl group, a  $C_{2-6}$  alkenyl group, a  $C_{2-6}$  alkynyl group, a  $C_{1-6}$  alkoxy group, a  $C_{2-6}$  alkenyloxy group, a  $C_{1-6}$  alkylthio group, a  $C_{2-6}$  alkenylthio group, a
- 10 halo( $C_{1-6}$  alkyl) group, a halo( $C_{1-6}$  alkoxy) group, a halo( $C_{1-6}$  alkylthio) group, a hydroxy( $C_{1-6}$  alkyl) group, a hydroxy( $C_{2-6}$  alkenyl) group, a hydroxy( $C_{1-6}$  alkoxy) group or a hydroxy( $C_{1-6}$  alkylthio) group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

15

8. A fused heterocyclic derivative as claimed in any one of claims 1, 5, 6 and 7, wherein the ring A represents a benzene ring or a pyridine ring, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

20

9. A fused heterocyclic derivative as claimed in any one of claims 1 to 8, wherein G represents a group represented by the formula:



, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

10. A pharmaceutical composition comprising as an active  
5 ingredient a fused heterocyclic derivative as claimed in any  
one of claims ~~1 to 9~~, or a pharmaceutically acceptable salt thereof,  
or a prodrug thereof.

11. A human SGLT inhibitor comprising as an active ingredient  
10 a fused heterocyclic derivative as claimed in any one of claims  
1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug  
thereof.

12. A human SGLT inhibitor as claimed in claim 11, wherein  
15 the SGLT is SGLT1 and/or SGLT2.

13. A human SGLT inhibitor as claimed in claim 11, which is  
an agent for the inhibition of postprandial hyperglycemia.

20 14. A human SGLT inhibitor as claimed in claim 11, which is  
an agent for the prevention or treatment of a disease associated  
with hyperglycemia.

15. A human SGLT inhibitor as claimed in claim 14, wherein  
25 the disease associated with hyperglycemia is a disease selected  
from the group consisting of diabetes, impaired glucose tolerance,  
diabetic complications, obesity, hyperinsulinemia,

hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

5 16. A human SGLT inhibitor as claimed in claim 11, which is an agent for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.

17. A pharmaceutical composition as claimed in claim 10,  
10 wherein the dosage form is sustained release formulation.

18. A human SGLT inhibitor as claimed in claim 11, wherein the dosage form is sustained release formulation.

15 19. A method for the inhibition of postprandial hyperglycemia, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

20 20. A method for the prevention or treatment of a disease associated with hyperglycemia, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable  
25 salt thereof, or a prodrug thereof.

21. A method for the prevention or treatment as claimed in

claim 20, wherein the disease associated with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

22. A method for the inhibition of advancing impaired glucose tolerance into diabetes in a subject, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

23. A use of a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the inhibition of postprandial hyperglycemia.

20

24. A use of a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia.

25. A use as claimed in claim 24, wherein the disease associated

with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

26. A use of a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.

27. A pharmaceutical composition as claimed in claim 10, which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,

an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript  
5 factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine,  
10 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a  
15 cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a  
20 bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme  
25 inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting

antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

- 5 28. A human SGLT inhibitor as claimed in claim 11, which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue,
- 10 a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase
- 15 inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase
- 20 inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor,
- 25 insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine,



5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

29. A method for the inhibition of postprandial hyperglycemia as claimed in claim 19, which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer,

a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon  
 receptor antagonist, an insulin receptor kinase stimulant, a  
 tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV  
 inhibitor, a protein tyrosine phosphatase-1B inhibitor, a  
 5 glycogen phosphorylase inhibitor, a glucose-6-phosphatase  
 inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate  
 dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor,  
 D-chiroinsitol, a glycogen synthase kinase-3 inhibitor,  
 glucagon-like peptide-1, a glucagon-like peptide-1 analogue,  
 10 a glucagon-like peptide-1 agonist, amylin, an amylin analogue,  
 an amylin agonist, an aldose reductase inhibitor, an advanced  
 glycation endproducts formation inhibitor, a protein kinase C  
 inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium  
 channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid  
 15 peroxidase inhibitor, an *N*-acetylated- $\alpha$ -linked-acid-  
 dipeptidase inhibitor, insulin-like growth factor-I,  
 platelet-derived growth factor, a platelet-derived growth  
 factor analogue, epidermal growth factor, nerve growth factor,  
 a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin,  
 20 EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics,  
 cathartics, a hydroxymethylglutaryl coenzyme A reductase  
 inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an  
 acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol,  
 a thyroid hormone receptor agonist, a cholesterol absorption  
 25 inhibitor, a lipase inhibitor, a microsomal triglyceride  
 transfer protein inhibitor, a lipoxygenase inhibitor, a  
 carnitine palmitoyl-transferase inhibitor, a squalene synthase

inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an

5 angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking

10 agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

15 30. A method for the prevention or treatment of a disease associated with hyperglycemia as claimed in claim 20, which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin

20 secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor,

25 a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase

kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation

5 inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor,

10 a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor

15 agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxxygenase inhibitor, a carnitine palmitoyl-transferase

20 inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme

25 inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent,

a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

31. A method for the inhibition of advancing impaired glucose tolerance into diabetes in a subject as claimed in claim 21, which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- $\alpha$ -linked-acid-

dipeptidase inhibitor, insulin-like growth factor-I,  
 platelet-derived growth factor, a platelet-derived growth  
 factor analogue, epidermal growth factor, nerve growth factor,  
 a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin,  
 5 EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics,  
 cathartics, a hydroxymethylglutaryl coenzyme A reductase  
 inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an  
 acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol,  
 a thyroid hormone receptor agonist, a cholesterol absorption  
 10 inhibitor, a lipase inhibitor, a microsomal triglyceride  
 transfer protein inhibitor, a lipoxygenase inhibitor, a  
 carnitine palmitoyl-transferase inhibitor, a squalene synthase  
 inhibitor, a low-density lipoprotein receptor enhancer, a  
 nicotinic acid derivative, a bile acid sequestrant, a sodium/bile  
 15 acid cotransporter inhibitor, a cholesterol ester transfer  
 protein inhibitor, an appetite suppressant, an  
 angiotensin-converting enzyme inhibitor, a neutral  
 endopeptidase inhibitor, an angiotensin II receptor antagonist,  
 an endothelin-converting enzyme inhibitor, an endothelin  
 20 receptor antagonist, a diuretic agent, a calcium antagonist,  
 a vasodilating antihypertensive agent, a sympathetic blocking  
 agent, a centrally acting antihypertensive agent, an  
 $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid  
 synthesis inhibitor, a uricosuric agent and a urinary  
 25 alkalinizer.

32. A use of (A) a fused heterocyclic derivative as claimed

in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase

inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxigenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the inhibition of postprandial hyperglycemia.

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33. A use of (A) a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor

25



kinase stimulant, a tripeptidyl peptidase II inhibitor, a  
 dipeptidyl peptidase IV inhibitor, a protein tyrosine  
 phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor,  
 a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase  
 5 inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic  
 gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase  
 kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like  
 peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,  
 an amylin analogue, an amylin agonist, an aldose reductase  
 10 inhibitor, an advanced glycation endproducts formation  
 inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid  
 receptor antagonist, a sodium channel antagonist, a transcript  
 factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an  
 N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor,  
 15 insulin-like growth factor-I, platelet-derived growth factor,  
 a platelet-derived growth factor analogue, epidermal growth  
 factor, nerve growth factor, a carnitine derivative, uridine,  
 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide,  
 Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl  
 20 coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor  
 agonist, an acyl-coenzyme A cholesterol acyltransferase  
 inhibitor, probcol, a thyroid hormone receptor agonist, a  
 cholesterol absorption inhibitor, a lipase inhibitor, a  
 microsomal triglyceride transfer protein inhibitor, a  
 25 lipoxxygenase inhibitor, a carnitine palmitoyl-transferase  
 inhibitor, a squalene synthase inhibitor, a low-density  
 lipoprotein receptor enhancer, a nicotinic acid derivative, a

bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin  
5 II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an  
10 antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia.

15 34. A use of (A) a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin  
20 secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor,  
25 a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase

kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation

5 inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor,

10 a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor

15 agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase

20 inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme

25 inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent,

a calcium antagonist, a vasodilating antihypertensive agent,  
a sympathetic blocking agent, a centrally acting  
antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an  
antiplatelets agent, a uric acid synthesis inhibitor, a  
5 uricosuric agent and a urinary alkalinizer, for the manufacture  
of a pharmaceutical composition for the inhibition of advancing  
impaired glucose tolerance into diabetes in a subject.